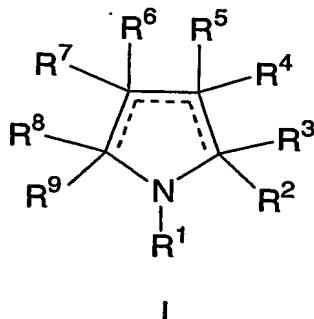


WHAT IS CLAIMED IS:

1. A compound of Formula I:



5 or a pharmaceutically acceptable salt or stereoisomer thereof, wherein

a is 0 or 1;

b is 0 or 1;

m is 0, 1, or 2;

10 n is 0 or 1;

r is 0 or 1;

s is 0 or 1;

u is 2, 3, 4 or 5;

15 a dashed line represents an optional double bond, provided that one and only one double bond is present in the ring;

R¹ is selected from:

- 1) (C₁-C₆-alkylene)_n(C=X)C₁-C₁₀ alkyl,
- 20 2) (C₁-C₆-alkylene)_n(C=X)aryl,
- 3) (C₁-C₆-alkylene)_n(C=X)C₂-C₁₀ alkenyl,
- 4) (C₁-C₆-alkylene)_n(C=X)C₂-C₁₀ alkynyl,
- 5) (C₁-C₆-alkylene)_n(C=X)C₃-C₈ cycloalkyl,
- 6) (C₁-C₆-alkylene)_n(C=X)heterocyclyl,
- 25 7) (C₁-C₆-alkylene)_n(C=X)NR^cRC'
- 8) (C₁-C₆-alkylene)_nSO₂NR^cRC'
- 9) (C₁-C₆-alkylene)_nSO₂C₁-C₁₀ alkyl,
- 10) (C₁-C₆-alkylene)_nSO₂C₂-C₁₀ alkenyl,

- 11) $(C_1\text{-}C_6\text{-alkylene})_nSO_2C_2\text{-}C_{10}$ alkynyl,
- 12) $(C_1\text{-}C_6\text{-alkylene})_nSO_2$ -aryl,
- 13) $(C_1\text{-}C_6\text{-alkylene})_nSO_2$ -heterocyclyl,
- 14) $(C_1\text{-}C_6\text{-alkylene})_nSO_2\text{-}C_3\text{-}C_8$ cycloalkyl,
- 5 15) $(C_1\text{-}C_6\text{-alkylene})_nP(=O)R^dR^d'$,
- 16) aryl;
- 17) heterocyclyl; and
- 18) $C_1\text{-}C_{10}$ alkyl;

10 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, alkylene, heteroaryl and heterocyclyl is optionally substituted with one or more substituents selected from R10;

R² and R⁶ are independently selected from:

- 1) aryl,
- 2) $C_1\text{-}C_6$ aralkyl,
- 15 3) $C_3\text{-}C_8$ cycloalkyl, and
- 4) heterocyclyl,

said aryl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R10;

20 R³, R⁴, R⁵, R⁷, R⁸, and R⁹ are independently selected from:

- 1) H,
- 2) $C_1\text{-}C_{10}$ alkyl,
- 3) aryl,
- 4) $C_2\text{-}C_{10}$ alkenyl,
- 25 5) $C_2\text{-}C_{10}$ alkynyl,
- 6) $C_1\text{-}C_6$ perfluoroalkyl,
- 7) $C_1\text{-}C_6$ aralkyl,
- 8) $C_3\text{-}C_8$ cycloalkyl, and
- 9) heterocyclyl,

30 said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R10; or

R⁴ and R⁵, or R⁸ and R⁹, attached to the same carbon atom are combined to form $-(CH_2)_u-$ wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)_m, -

35 N(R^a)C(O)-, -N(R^b)- and -N(COR^a)-;

R¹⁰ is independently selected from:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 5 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) CO₂H,
- 7) halo,
- 10 8) CN,
- 9) OH,
- 10) O_bC₁-C₆ perfluoroalkyl,
- 11) O_a(C=O)_bNR¹²R¹³,
- 12) S(O)_mR^a,
- 15 13) S(O)₂NR¹²R¹³,
- 14) oxo,
- 15) CHO,
- 16) (N=O)R¹²R¹³,
- 17) (C=O)_aO_bC₃-C₈ cycloalkyl, and
- 20 18) -OPO(OH)₂;

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R¹¹;

R¹¹ is selected from:

- 25 1) (C=O)_rO_s(C₁-C₁₀)alkyl,
- 2) O_r(C₁-C₃)perfluoroalkyl,
- 3) (C₀-C₆)alkylene-S(O)_mR^a,
- 4) oxo,
- 5) OH,
- 30 6) halo,
- 7) CN,
- 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,
- 9) (C=O)_rO_s(C₂-C₁₀)alkynyl,
- 10) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 35 11) (C=O)_rO_s(C₀-C₆)alkylene-aryl,

- 12) $(C=O)_rO_s(C_0-C_6)$ alkylene-heterocyclyl,
- 13) $(C=O)_rO_s(C_0-C_6)$ alkylene-N(R^b)₂,
- 14) C(O)R^a,
- 15) (C_0-C_6) alkylene-CO₂R^a,
- 5 16) C(O)H,
- 17) (C_0-C_6) alkylene-CO₂H,
- 18) C(O)N(R^b)₂,
- 19) S(O)_mR^a,
- 20) S(O)₂N(R^b)₂, and
- 10 21) -OPO(OH)₂;

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkylene and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

15 R¹² and R¹³ are independently selected from:

- 1) H,
- 2) (C=O)O_bC₁-C₁₀ alkyl,
- 3) (C=O)O_bC₃-C₈ cycloalkyl,
- 4) (C=O)O_baryl,
- 20 5) (C=O)O_bheterocyclyl,
- 6) C₁-C₁₀ alkyl,
- 7) aryl,
- 8) C₂-C₁₀ alkenyl,
- 9) C₂-C₁₀ alkynyl,
- 25 10) heterocyclyl,
- 11) C₃-C₈ cycloalkyl,
- 12) SO₂R^a, and
- 13) (C=O)NR^b₂,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R¹¹, or

R¹² and R¹³ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 3-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle 35 optionally substituted with one or more substituents selected from R¹¹,

R¹⁴ is independently selected from:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 5 3) C₂-C₁₀ alkenyl;
- 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) CO₂H,
- 7) halo,
- 10 8) CN,
- 9) OH,
- 10) O_bC₁-C₆ perfluoroalkyl,
- 11) O_a(C=O)_bNR¹²R¹³,
- 12) S(O)_mR^a,
- 15 13) S(O)₂NR¹²R¹³,
- 14) oxo,
- 15) CHO,
- 16) (N=O)R¹²R¹³,
- 17) (C=O)_aO_bC₃-C₈ cycloalkyl, and
- 20 18) -OPO(OH)₂;

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R¹¹;

R^a is (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, or heterocyclyl, optionally substituted with one to three substituents selected from R¹⁴;

R^b is H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a, optionally substituted with one to three substituents selected from R¹⁴;

R^c and R^{c'} are independently selected from: H, (C₁-C₆)alkyl, aryl, heterocyclyl and (C₃-C₆)cycloalkyl, 30 optionally substituted with one, two or three substituents selected from R¹⁰, or

R^c and R^{c'} can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 3-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle 35 optionally substituted with one, two or three substituents selected from R¹¹;

R^d and R^{d'} are independently selected from: (C₁-C₆)alkyl, (C₁-C₆)alkoxy and NR^b₂, or

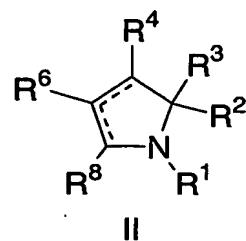
5 R^d and R^{d'} can be taken together with the phosphorous to which they are attached to form a monocyclic heterocycle with 5-7 members the ring and optionally containing, in addition to the phosphorous, one or two additional heteroatoms selected from NR^e, O and S, said monocyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹;

10 R^e is selected from: H and (C₁-C₆)alkyl; and

X is selected from O, NR^e and S;

provided that at least one substituent -OPO(OH)₂ is present in the compound of Formula I.

15 2. The compound according to Claim 1 of the Formula II:



or a pharmaceutically acceptable salt or stereoisomer thereof,
wherein:

20 a is 0 or 1;

b is 0 or 1;

m is 0, 1, or 2;

n is 0 or 1;

r is 0 or 1;

25 s is 0 or 1;

a dashed line represents an optional double bond, provided that one and only one double bond is present in the ring;

30 R¹ is selected from:

- 1) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)C_1\text{-}C_{10}$ alkyl,
- 2) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)\text{aryl}$,
- 3) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)C_2\text{-}C_{10}$ alkenyl,
- 4) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)C_2\text{-}C_{10}$ alkynyl,
- 5) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)C_3\text{-}C_8$ cycloalkyl,
- 6) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)\text{heterocyclyl}$,
- 7) $(C_1\text{-}C_6\text{-alkylene})_n(C=O)NR^cR^c'$,
- 8) $(C_1\text{-}C_6\text{-alkylene})_nSO_2NR^cR^c'$,
- 9) $(C_1\text{-}C_6\text{-alkylene})_nSO_2C_1\text{-}C_{10}$ alkyl,
- 10) $(C_1\text{-}C_6\text{-alkylene})_nSO_2\text{-aryl}$,
- 11) $(C_1\text{-}C_6\text{-alkylene})_nSO_2\text{-heterocyclyl}$,
- 12) $(C_1\text{-}C_6\text{-alkylene})_nSO_2C_3\text{-}C_8$ cycloalkyl,
- 13) $(C_1\text{-}C_6\text{-alkylene})_nP(=O)R^dR^d'$,
- 14) aryl;
- 15) heterocyclyl; and
- 16) $C_1\text{-}C_{10}$ alkyl;

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, alkylene, heteroaryl and heterocyclyl is optionally substituted with one or more substituents selected from R10;

20 R² and R⁶ are independently selected from:

- 1) aryl,
- 2) $C_1\text{-}C_6$ aralkyl,
- 3) $C_3\text{-}C_8$ cycloalkyl, and
- 4) heterocyclyl,

25 said aryl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R10;

R³, R⁴ and R⁸ are independently selected from:

- 1) H,
- 2) $C_1\text{-}C_{10}$ alkyl,
- 3) aryl,
- 4) $C_2\text{-}C_{10}$ alkenyl,
- 5) $C_2\text{-}C_{10}$ alkynyl,
- 6) $C_1\text{-}C_6$ perfluoroalkyl,
- 7) $C_1\text{-}C_6$ aralkyl,

- 8) C_3 - C_8 cycloalkyl, and
- 9) heterocyclyl,

said alkyl, aryl, alkenyl, alkynyl, cycloalkyl, aralkyl and heterocyclyl is optionally substituted with one or more substituents selected from R10;

5

R10 is independently selected from:

- 1) $(C=O)_a O_b C_1$ - C_{10} alkyl,
- 2) $(C=O)_a O_b$ aryl,
- 3) C_2 - C_{10} alkenyl,
- 10 4) C_2 - C_{10} alkynyl,
- 5) $(C=O)_a O_b$ heterocyclyl,
- 6) CO_2H ,
- 7) halo,
- 8) CN,
- 15 9) OH,
- 10) $O_b C_1$ - C_6 perfluoroalkyl,
- 11) $O_a (C=O)_b NR^{12}R^{13}$,
- 12) $S(O)_m R^a$,
- 13) $S(O)_2 NR^{12}R^{13}$,
- 20 14) oxo,
- 15) CHO,
- 16) $(N=O)R^{12}R^{13}$,
- 17) $(C=O)_a O_b C_3$ - C_8 cycloalkyl, and
- 18) $-OPO(OH)_2$;

25 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R11;

R11 is selected from:

- 1) $(C=O)_r O_s (C_1$ - $C_{10})$ alkyl,
- 30 2) $O_r (C_1$ - $C_3)$ perfluoroalkyl,
- 3) oxo,
- 4) OH,
- 5) halo,
- 6) CN,
- 35 7) $(C_2$ - $C_{10})$ alkenyl,

- 8) (C₂-C₁₀)alkynyl,
- 9) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 10) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 11) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 5 12) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 13) C(O)R^a,
- 14) (C₀-C₆)alkylene-CO₂R^a,
- 15) C(O)H,
- 16) (C₀-C₆)alkylene-CO₂H, and
- 10 17) C(O)N(R^b)₂,
- 18) S(O)_mR^a,
- 19) S(O)₂N(R^b)₂, and
- 20) -OPO(OH)₂;

15 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, alkylene and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

R¹² and R¹³ are independently selected from:

- 1) H,
- 20 2) (C=O)O_bC₁-C₁₀ alkyl,
- 3) (C=O)O_bC₃-C₈ cycloalkyl,
- 4) (C=O)O_baryl,
- 5) (C=O)O_bheterocyclyl,
- 6) C₁-C₁₀ alkyl,
- 25 7) aryl,
- 8) C₂-C₁₀ alkenyl,
- 9) C₂-C₁₀ alkynyl,
- 10) heterocyclyl,
- 11) C₃-C₈ cycloalkyl,
- 30 12) SO₂R^a, and
- 13) (C=O)NR^b₂,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one, two or three substituents selected from R¹¹, or

R¹² and R¹³ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹;

5

R^a is (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, or heterocyclyl;

R^b is H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a;

10

R^c and R^{c'} are independently selected from: H, (C₁-C₆)alkyl, aryl, heterocyclyl and (C₃-C₆)cycloalkyl; or

15

R^c and R^{c'} can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹;

R^d and R^{d'} are independently selected from: (C₁-C₆)alkyl, (C₁-C₆)alkoxy and NR^b₂, or

20

R^d and R^{d'} can be taken together with the phosphorous to which they are attached to form a monocyclic heterocycle with 5-7 members the ring and optionally containing, in addition to the phosphorous, one or two additional heteroatoms selected from NR^e, O and S, said monocyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹; and

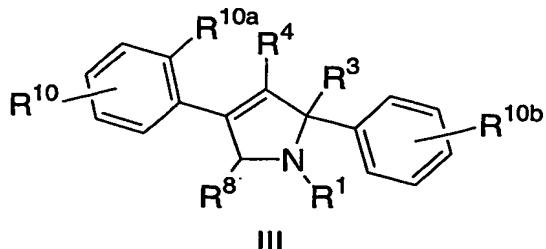
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R^e is selected from: H and (C₁-C₆)alkyl; and

provided that at least one substituent -OPO(OH)₂ is present in the compound of Formula II.

30

3. The compound according to Claim 2 of Formula III:



or a pharmaceutically acceptable salt or stereoisomer thereof, wherein

5 a is 0 or 1;
 b is 0 or 1;
 m is 0, 1, or 2;
 r is 0 or 1;
 s is 0 or 1;

R1 is selected from:

10 1) (C=O)C1-C10 alkyl,
 2) (C=O)aryl,
 3) (C=O)C3-C8 cycloalkyl,
 4) (C=O)heterocyclyl,
 5) (C=O)NRcRc',

15 6) (C=S)NRcRc',
 7) SO₂NRcRc',
 8) SO₂C1-C10 alkyl,
 9) SO₂-aryl, and
 10) SO₂-heterocyclyl,
 20 said alkyl, aryl, cycloalkyl, and heterocyclyl is optionally substituted with one or more substituents selected from R10; or

R3, R4 and R8 are independently selected from:

25 1) H,
 2) C1-C10 alkyl, and
 3) C1-C6 perfluoroalkyl,

said alkyl is optionally substituted with one or more substituents selected from R10;

R10 and R10b are independently selected from:

30 1) (C=O)_aO_bC1-C10 alkyl,

- 2) $(C=O)_a O_b$ aryl,
- 3) C_2 - C_{10} alkenyl,
- 4) C_2 - C_{10} alkynyl,
- 5) $(C=O)_a O_b$ heterocyclyl,
- 5) CO_2H ,
- 7) halo,
- 8) CN,
- 9) OH,
- 10) $O_b C_1$ - C_6 perfluoroalkyl,
- 10) $O_a (C=O)_b NR^{12} R^{13}$,
- 12) $S(O)_m R^a$,
- 13) $S(O)_2 NR^{12} R^{13}$,
- 14) oxo,
- 15) CHO,
- 15) $(N=O)R^{12} R^{13}$,
- 17) $(C=O)_a O_b C_3$ - C_8 cycloalkyl, and
- 18) $-OPO(OH)_2$;

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R¹¹;

20

R^{10a} is halogen;

R¹¹ is selected from:

- 1) $(C=O)_r O_s (C_1$ - $C_{10})$ alkyl,
- 25) 2) $O_r (C_1$ - $C_3)$ perfluoroalkyl,
- 3) oxo,
- 4) OH,
- 5) halo,
- 6) CN,
- 30) 7) $(C_2$ - $C_{10})$ alkenyl,
- 8) $(C_2$ - $C_{10})$ alkynyl,
- 9) $(C=O)_r O_s (C_3$ - $C_6)$ cycloalkyl,
- 10) $(C=O)_r O_s (C_0$ - $C_6)$ alkylene-aryl,
- 11) $(C=O)_r O_s (C_0$ - $C_6)$ alkylene-heterocyclyl,
- 35) 12) $(C=O)_r O_s (C_0$ - $C_6)$ alkylene-N(R^b)₂,

- 13) $\text{C}(\text{O})\text{R}^a$,
- 14) $(\text{C}_0\text{-}\text{C}_6)\text{alkylene-CO}_2\text{R}^a$,
- 15) $\text{C}(\text{O})\text{H}$,
- 16) $(\text{C}_0\text{-}\text{C}_6)\text{alkylene-CO}_2\text{H}$,
- 5 17) $\text{C}(\text{O})\text{N}(\text{R}^b)_2$,
- 18) $\text{S}(\text{O})_m\text{R}^a$,
- 19) $\text{S}(\text{O})_2\text{N}(\text{R}^b)_2$, and
- 20) $-\text{OPO}(\text{OH})_2$;

10 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b , OH , $(\text{C}_1\text{-}\text{C}_6)\text{alkoxy}$, halogen, CO_2H , CN , $\text{O}(\text{C}=\text{O})\text{C}_1\text{-}\text{C}_6$ alkyl, oxo, and $\text{N}(\text{R}^b)_2$;

R¹² and R¹³ are independently selected from:

- 15 1) H ,
- 2) $(\text{C}=\text{O})\text{O}_b\text{C}_1\text{-}\text{C}_{10}$ alkyl,
- 3) $(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-}\text{C}_8$ cycloalkyl,
- 4) $(\text{C}=\text{O})\text{O}_b$ aryl,
- 5) $(\text{C}=\text{O})\text{O}_b$ heterocyclyl,
- 6) $\text{C}_1\text{-}\text{C}_{10}$ alkyl,
- 20 7) aryl,
- 8) $\text{C}_2\text{-}\text{C}_{10}$ alkenyl,
- 9) $\text{C}_2\text{-}\text{C}_{10}$ alkynyl,
- 10) heterocyclyl,
- 11) $\text{C}_3\text{-}\text{C}_8$ cycloalkyl,
- 25 12) SO_2R^a , and
- 13) $(\text{C}=\text{O})\text{N}\text{R}^b_2$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one, two or three substituents selected from R¹¹, or

- 30 R¹² and R¹³ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹;
- 35 R^a is independently selected from: $(\text{C}_1\text{-}\text{C}_6)$ alkyl, $(\text{C}_3\text{-}\text{C}_6)$ cycloalkyl, aryl, and heterocyclyl;

R^b is independently selected from: H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a; and

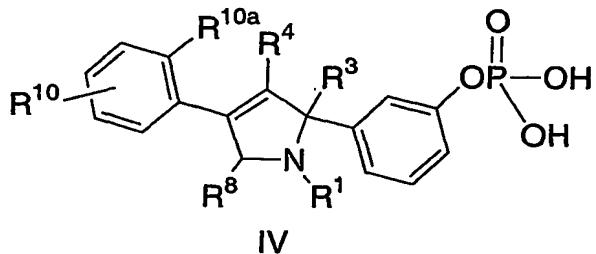
5 RC and RC' are independently selected from: H, (C₁-C₆)alkyl, aryl, heterocyclyl and (C₃-C₆)cycloalkyl or

RC and RC' can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen,

10 one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹; and

provided that at least one substituent -OPO(OH)₂ is present in the compound of Formula III.

15 4. A compound of the Formula IV:



or a pharmaceutically acceptable salt or stereoisomer thereof, wherein

a is 0 or 1;

b is 0 or 1;

20 m is 0, 1, or 2;

r is 0 or 1;

s is 0 or 1;

R¹ is selected from:

25 1) (C=O)C₁-C₁₀ alkyl,

2) (C=O)aryl,

3) (C=O)C₃-C₈ cycloalkyl,

4) (C=O)heterocyclyl,

5) (C=O)NR^cRC',

- 6) $(C=S)NR^cRC^c'$,
- 7) $SO_2NR^cRC^c'$,
- 8) $SO_2C_1-C_{10}$ alkyl,
- 9) SO_2 -aryl, and
- 5 10) SO_2 -heterocyclyl,

said alkyl, aryl, cycloalkyl, and heterocyclyl is optionally substituted with one or more substituents selected from R¹⁰; or

R³, R⁴ and R⁸ are independently selected from:

- 10 1) H,
- 2) C₁-C₁₀ alkyl, and
- 3) C₁-C₆ perfluoroalkyl,

said alkyl is optionally substituted with one or more substituents selected from R¹⁰;

15 R¹⁰ are independently selected from:

- 1) $(C=O)_aO_bC_1-C_{10}$ alkyl,
- 2) $(C=O)_aO_b$ aryl,
- 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 20 5) $(C=O)_aO_b$ heterocyclyl,
- 6) CO₂H,
- 7) halo,
- 8) CN,
- 9) OH,

25 10) O_bC₁-C₆ perfluoroalkyl,

11) O_a(C=O)_bNR¹²R¹³,

12) S(O)_mR^a,

13) S(O)₂NR¹²R¹³,

14) oxo,

30 15) CHO,

16) (N=O)R¹²R¹³,

17) (C=O)_aO_bC₃-C₈ cycloalkyl, and

18) -OPO(OH)₂;

35 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R¹¹;

R^{10a} is halogen;

R¹¹ is selected from:

- 5 1) (C=O)_rO_s(C₁-C₁₀)alkyl,
- 2) O_r(C₁-C₃)perfluoroalkyl,
- 3) oxo,
- 4) OH,
- 5) halo,
- 10 6) CN,
- 7) (C₂-C₁₀)alkenyl,
- 8) (C₂-C₁₀)alkynyl,
- 9) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 10 10) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 15 11) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 12) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 13) C(O)R^a,
- 14) (C₀-C₆)alkylene-CO₂R^a,
- 15) C(O)H,
- 20 16) (C₀-C₆)alkylene-CO₂H,
- 17) C(O)N(R^b)₂,
- 18) S(O)_mR^a,
- 19) S(O)₂N(R^b)₂, and
- 20) -OPO(OH)₂;
- 25 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

R¹² and R¹³ are independently selected from:

- 30 1) H,
- 2) (C=O)O_bC₁-C₁₀ alkyl,
- 3) (C=O)O_bC₃-C₈ cycloalkyl,
- 4) (C=O)O_baryl,
- 5) (C=O)O_bheterocyclyl,
- 35 6) C₁-C₁₀ alkyl,

- 7) aryl,
- 8) C₂-C₁₀ alkenyl,
- 9) C₂-C₁₀ alkynyl,
- 5 10) heterocyclyl,
- 11) C₃-C₈ cycloalkyl,
- 12) SO₂R^a, and
- 13) (C=O)NR^b₂,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one, two or three substituents selected from R¹¹, or

10 R¹² and R¹³ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹;

15 R^a is independently selected from: (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, and heterocyclyl;

R^b is independently selected from: H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a; and

20 R^c and R^{c'} are independently selected from: H, (C₁-C₆)alkyl, aryl, heterocyclyl and (C₃-C₆)cycloalkyl or

25 R^c and R^{c'} can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one, two or three substituents selected from R¹¹

5. A compound selected from:

30 3-[(2S)-4-(2,5-difluorophenyl)-1-[(dimethylamino)carbonyl]-2,5-dihydro-1H-pyrrol-2-yl]phenyl dihydrogen phosphate;

35 3-[(2S)-1-[(2S)-2-cyclopropyl-2-hydroxyethanoyl]-4-(2,5-difluorophenyl)-2,5-dihydro-1H-pyrrol-2-yl]phenyl dihydrogen phosphate;

3-((2S)-4-(2,5-difluorophenyl)-1-{[methyl(tetrahydrofuran-3-yl)amino]carbonyl}-2,5-dihydro-1H-pyrrol-2-yl)phenyl dihydrogen phosphate;

5 3-((2S)-4-(2,5-difluorophenyl)-1-[(2S)-2-hydroxy-3,3-dimethylbutanoyl]-2,5-dihydro-1H-pyrrol-2-yl}phenyl dihydrogen phosphate;

2-(phosphonooxy)ethyl (1S)-1-{[(2S)-4-(2,5-difluorophenyl)-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl]carbonyl}-2,2-dimethylpropylcarbamate; and

10

(1S)-1-cyclopropyl-2-[(2S)-4-(2,5-difluorophenyl)-2-phenyl-2,5-dihydro-1H-pyrrol-1-yl]-2-oxoethyl dihydrogen phosphate;

or a pharmaceutically acceptable salt or stereoisomer thereof.

15

6. A pharmaceutical composition that is comprised of a compound in accordance with Claim 1 and a pharmaceutically acceptable carrier.

20 7. The composition of Claim 6 further comprising a second compound selected from: 1) an estrogen receptor modulator, 2) an androgen receptor modulator, 3) a retinoid receptor modulator, 4) a cytotoxic/cytostatic agent, 5) an antiproliferative agent, 6) a prenyl-protein transferase inhibitor, 7) an HMG-CoA reductase inhibitor, 8) an HIV protease inhibitor, 9) a reverse transcriptase inhibitor, 10) an angiogenesis inhibitor, 11) a PPAR- γ agonist, 12) a PPAR- δ agonist; 13) an inhibitor of cell proliferation and survival signaling, and 14) an agent that interferes with a cell cycle checkpoint.

25

8. The use of a compound of Claim 1 for the preparation of a medicament useful for treating or preventing cancer in a mammal in need of such treatment.

30 9. The use of a compound of Claim 1 for the preparation of a medicament useful for treating or preventing cancer in a mammal in need of such treatment, wherein the cancer is selected from histiocytic lymphoma, lung adenocarcinoma, small cell lung cancers, pancreatic cancer, glioblastomas and breast carcinoma.

35 10. The use of a compound of Claim 1 for the preparation of a medicament useful for modulating mitotic spindle formation in a mammal in need of such modulation.

11. The use of a compound of Claim 1 for the preparation of a medicament useful for inhibiting the mitotic kinesin KSP in a mammal in need of such inhibition.